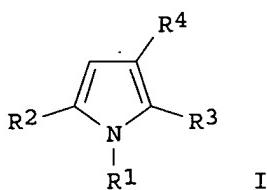


L9 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1998:402414 CAPLUS
 DOCUMENT NUMBER: 129:81660
 TITLE: Preparation of substituted pyrroles for the treatment of inflammation
 INVENTOR(S): Khanna, Ish K.; Weier, Richard M.; Yu, Yi
 PATENT ASSIGNEE(S): G.D. Searle & Co., USA; Khanna, Ish K.; Weier, Richard M.; Yu, Yi
 SOURCE: PCT Int. Appl., 99 pp.
 CODEN: PIIXD2
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 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825896	A1	19980618	WO 1997-US22488	19971209
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9853776	A1	19980703	AU 1998-53776	19971209
US 5935990	A	19990810	US 1997-987356	19971209
EP 946507	A1	19991006	EP 1997-950891	19971209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
PRIORITY APPLN. INFO.: US 1996-32688			US 1996-32688	19961210
			WO 1997-US22488	19971209

OTHER SOURCE(S): MARPAT 129:81660
 GI



AB The title compds. [I; R1, R2 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocyclyl; R3 = H, halo, Me, alkoxy carbonylalkyl; R4 = H, halo, alkyl, etc.], useful in treating inflammation, arthritis, pain and fever, were prepd. Thus, reaction of 1-(4-methylsulfonylphenyl)-1,4-pentanedione (prepn. described) with 4-fluoroniline in the presence of p-TsOH in PhMe afforded 79% I [R1 = 4-FC6H4; R2 = 4-(MeSO2)C6H4; R3 = Me; R4 = H] which showed IC50 of < 0.1 .mu.M against COX-2 and IC50 of > 100 .mu.M against COX-1. Compds. of particular interest are pyrroles I, wherein at least one of R1 and R2 is Ph substituted with methylsulfonyl or aminosulfonyl.

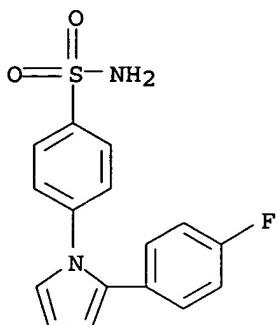
IT 189501-09-5P 209167-35-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted pyrroles for the treatment of inflammation)

RN 189501-09-5 CAPLUS

CN Benzenesulfonamide, 4-[2-(4-fluorophenyl)-1H-pyrrol-1-yl]- (9CI) (CA INDEX NAME)



RN 209167-35-1 CAPLUS

CN Benzenesulfonamide, 4-[1-(4-fluorophenyl)-5-methyl-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

